Connecting via Winsock to STN

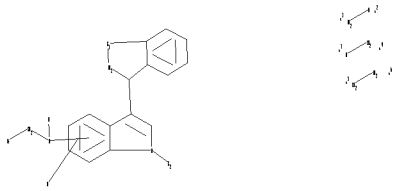
Welcome to STN International! Enter x:x

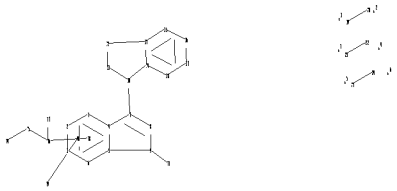
L * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 10:28:54 ON 05 JAN 2010

=>
=> file reg

=>
Uploading C:\Program Files\Stnexp\Queries\10598330.str





chain nodes :
19 20 21 22 23 24 33 34 35 36 37 39
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18
chain bonds :
7-10 9-33 19-20 21-22 23-24 34-35 35-36 36-37
ring bonds :
1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-9 7-8 8-9 10-11 10-14 11-12 12-13 13-14
 13-15 14-18 15-16 16-17 17-18
exact/norm bonds :

4-7 5-9 7-8 7-10 8-9 9-33 10-11 10-14 11-12 12-13 19-20 21-22 23-24
34-35 35-36 36-37
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 13-14 13-15 14-18 15-16 16-17 17-18
isolated ring systems :
containing 1 : 10 :

G1:CH2,[*1-*2],[*3-*4],[*5-*6]

G2:H,Ak

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:CLASS
20:CLASS 21:CLASS 22:CLASS 23:CLASS 24:CLASS 33:CLASS 34:CLASS 35:CLASS
36:CLASS 37:CLASS 38:Atom 39:CLASS 40:Atom

L1 STRUCTURE UPLOADED

=> d l`
L1 HAS NO ANSWERS
'L` ' IS NOT A VALID STRUCTURE FORMAT KEYWORD
ENTER STRUCTURE FORMAT (SIM), NOS:end

=> d l1
L1 HAS NO ANSWERS
L1 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sam
SAMPLE SEARCH INITIATED 10:29:31 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 63 TO ITERATE

100.0% PROCESSED 63 ITERATIONS 4 ANSWERS
SEARCH TIME: 00.00.01

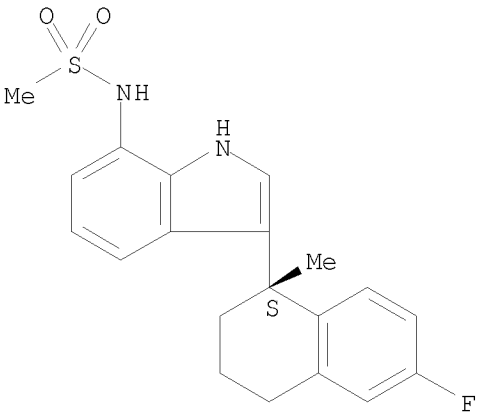
FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 784 TO 1736
PROJECTED ANSWERS: 4 TO 200

L2 4 SEA SSS SAM L1

=> d scan

L2 4 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN
IN Methanesulfonamide, N-[3-[(1S)-6-fluoro-1,2,3,4-tetrahydro-1-methyl-1-
naphthalenyl]-1H-indol-7-yl]-
MF C20 H21 F N2 O2 S

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):end

=> s l1 full
FULL SEARCH INITIATED 10:29:37 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1328 TO ITERATE

100.0% PROCESSED 1328 ITERATIONS 51 ANSWERS
SEARCH TIME: 00.00.01

L3 51 SEA SSS FUL L1

=> file ca

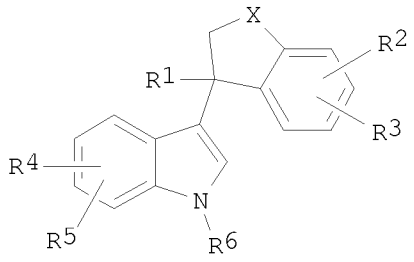
=> s l3
L4 1 L3

=> d ibib abs fhitstr

L4 ANSWER 1 OF 1 CA COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 143:347052 CA
TITLE: Bicyclic substituted indole derivatives as steroid hormone nuclear receptor modulators, their preparation, pharmaceutical compositions, and use in therapy
INVENTOR(S): Gavardinas, Konstantinos; Jadhav, Prabhakar Kondaji; Wang, Minmin
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 92 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2005092854	A1	20051006	WO 2005-US5240		20050218
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
AU 2005226759	A1	20051006	AU 2005-226759		20050218
CA 2557745	A1	20051006	CA 2005-2557745		20050218
EP 1723105	A1	20061122	EP 2005-723294		20050218
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR					
CN 1926104	A	20070307	CN 2005-80006709		20050218
BR 2005007657	A	20070710	BR 2005-7657		20050218
JP 2007526304	T	20070913	JP 2007-501817		20050218
IN 2006KN02239	A	20070525	IN 2006-KN2239		20060808
US 20070185161	A1	20070809	US 2006-598330		20060824
MX 2006009953	A	20061116	MX 2006-9953		20060831
PRIORITY APPLN. INFO.:			US 2004-549754P	P	20040303
			WO 2005-US5240	W	20050218

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 143:347052; MARPAT 143:347052
GI



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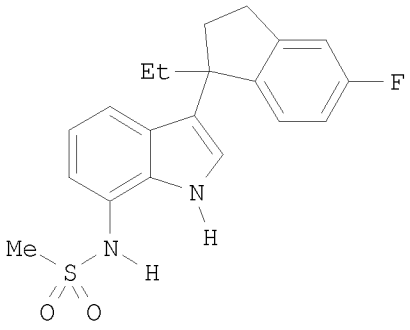


II

AB The invention relates to indole derivs. of formula I, which are modulators of steroid hormone nuclear receptors. In compds. I, X is CH2, (CH2)2, (CH2)3, CH2O, CH2S, or (un)substituted CH2N; R1 is H, C1-4 alkyl, C3-7 cycloalkyl, hydroxy-C1-4 alkyl, halo-C1-4 alkyl, etc.; R2 and R3 are independently selected from H, halo, C1-4 alkyl, or (un)substituted heterocyclyl; R4 is H, halo, amino, nitro, C1-4 alkyl, C1-4 alkoxy, sulfonylamino, carbonylamino, C1-4 alkylcarbonyl, and C1-4 alkoxycarbonyl; R5 is H or halo; and R6 is H or C1-4 alkyl; including pharmaceutically acceptable salts thereof. The invention also relates to the preparation of I, pharmaceutical compns. containing compound I in combination with a pharmaceutically acceptable carrier, diluent, or excipient, as well as to the use of the compns. for treatment of physiol. disorders, particularly congestive heart disease, hypertension, and atherosclerosis. Addition of ethylmagnesium bromide to 5-fluoroindan-1-one followed by condensation with N-(1H-indol-7-yl)methanesulfonamide (preparation in 2 steps from 7-nitroindole given) resulted in the formation of indanylindole derivative II. The two enantiomers of II were separated by chiral HPLC. Most of the compds. of the invention, including compound II and its enantiomers, express high affinity for mineralocorticoid and glucocorticoid receptors, with values for Ki ≤ 500 nM.

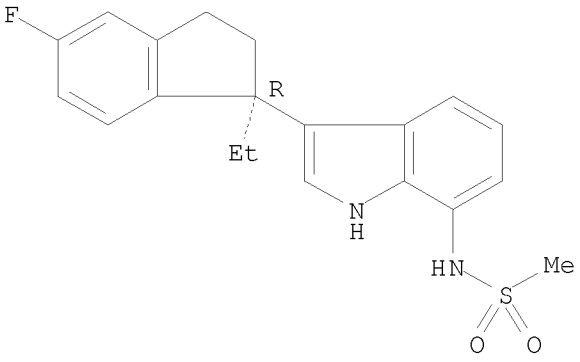
IT 865719-16-0P, (R)-N-[3-(1-Ethyl-5-fluoroindan-1-yl)-1H-indol-7-yl]methanesulfonamide
RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(chiral drug candidate; preparation of bicyclic indole derivs. as steroid hormone nuclear receptor modulators)

RN 865719-16-0 CA

CN Methanesulfonamide, N-[3-[(1R)-1-ethyl-5-fluoro-2,3-dihydro-1H-inden-1-yl]-

1H-indol-7-yl]- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> fi

FI IS NOT A RECOGNIZED COMMAND
The previous command name entered was not recognized by the system.
For a list of commands available to you in the current file, enter
"HELP COMMANDS" at an arrow prompt (=>).

=> file marpat

=> d his

(FILE 'HOME' ENTERED AT 10:28:54 ON 05 JAN 2010)

FILE 'REGISTRY' ENTERED AT 10:29:04 ON 05 JAN 2010
L1 STRUCTURE UPLOADED
L2 4 S L1 SAM
L3 51 S L1 FULL

FILE 'CA' ENTERED AT 10:29:42 ON 05 JAN 2010
L4 1 S L3

FILE 'MARPAT' ENTERED AT 10:30:04 ON 05 JAN 2010

=> s l4
L5 1 L4

=> s l1 full
FULL SEARCH INITIATED 10:30:23 FILE 'MARPAT'
FULL SCREEN SEARCH COMPLETED - 17058 TO ITERATE

100.0% PROCESSED 17058 ITERATIONS 1 ANSWERS
SEARCH TIME: 00.00.03

L6 1 SEA SSS FUL L1

=> d ibib abs

L6 ANSWER 1 OF 1 MARPAT COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 143:347052 MARPAT
TITLE: Bicyclic substituted indole derivatives as steroid hormone nuclear receptor modulators, their preparation, pharmaceutical compositions, and use in therapy
INVENTOR(S): Gavardinas, Konstantinos; Jadhav, Prabhakar Kondaji; Wang, Minmin
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 92 pp.
CODEN: PIXXD2
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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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BR 2005007657	A	20070710	BR 2005-7657	20050218
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			WO 2005-US5240	20050218

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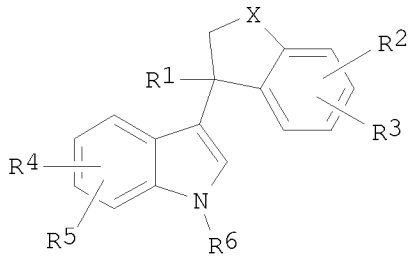

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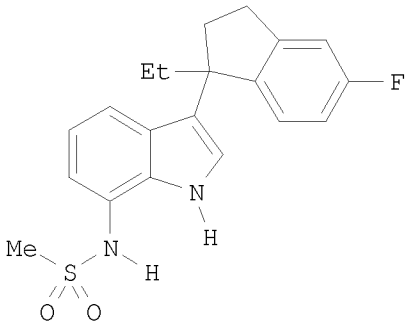

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REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=>

---Logging off of STN---

=>
Executing the logoff script...

=> LOG Y

STN INTERNATIONAL LOGOFF AT 10:30:39 ON 05 JAN 2010